STN SEARCH TRANSCRIPT 10/681,205

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 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  SEP 01  New pricing for the Save Answers for SciFinder Wizard within
                  STN Express with Discover!
 NEWS  4  OCT 28  KOREAPAT now available on STN
 NEWS  5  NOV 30  PHAR reloaded with additional data
 NEWS  6  DEC 01  LISA now available on STN
 NEWS  7  DEC 09  12 databases to be removed from STN on December 31, 2004
 NEWS  8  DEC 15  MEDLINE update schedule for December 2004
 NEWS  9  DEC 17  ELCOM reloaded; updating to resume; current-awareness
                  alerts (SDIs) affected
 NEWS 10  DEC 17  COMPUAB reloaded; updating to resume; current-awareness
                  alerts (SDIs) affected
 NEWS 11  DEC 17  SOLIDSTATE reloaded; updating to resume; current-awareness
                  alerts (SDIs) affected
 NEWS 12  DEC 17  CERAB reloaded; updating to resume; current-awareness
                  alerts (SDIs) affected
 NEWS 13  DEC 17  THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
 NEWS 14  DEC 30  EPFULL: New patent full text database to be available on STN
 NEWS 15  DEC 30  CAPLUS - PATENT COVERAGE EXPANDED
 NEWS 16  JAN 03  No connect-hour charges in EPFULL during January and
                  February 2005
 NEWS 17  FEB 25  CA/CAPLUS - Russian Agency for Patents and Trademarks
                  (ROSPATENT) added to list of core patent offices covered
 NEWS 18  FEB 10  STN Patent Forums to be held in March 2005
 NEWS 19  FEB 16  STN User Update to be held in conjunction with the 229th ACS
                  National Meeting on March 13, 2005
 NEWS 20  FEB 28  PATDPAFULL - New display fields provide for legal status
                  data from INPADOC
 NEWS 21  FEB 28  BABS - Current-awareness alerts (SDIs) available
 NEWS 22  FEB 28  MEDLINE/LMEDLINE reloaded
 NEWS 23  MAR 02  GBFULL: New full-text patent database on STN
 NEWS 24  MAR 03  REGISTRY/ZREGISTRY - Sequence annotations enhanced
 NEWS 25  MAR 03  MEDLINE file segment of TOXCENTER reloaded
 NEWS 26  MAR 22  KOREAPAT now updated monthly; patent information enhanced
 NEWS 27  MAR 22  Original IDE display format returns to REGISTRY/ZREGISTRY
 NEWS 28  MAR 22  PATDPASPC - New patent database available
 NEWS 29  MAR 22  REGISTRY/ZREGISTRY enhanced with experimental property tags

 NEWS EXPRESS  JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
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FILE 'HOME' ENTERED AT 14:46:27 ON 24 MAR 2005

=> FILE REG
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES:    23 MAR 2005  HIGHEST RN 847137-45-5
DICTIONARY FILE UPDATES:   23 MAR 2005  HIGHEST RN 847137-45-5

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

  Please note that search-term pricing does apply when
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*******************************************************************
*                                                                 *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,  *
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* available and contains the CA role and document type information. *
*                                                                 *
*******************************************************************

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\HABTE'S SULFONYL DERIVS.str
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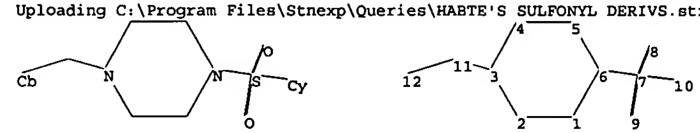


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chain nodes :
7  8  9  10  11  12
ring nodes :
1  2  3  4  5  6
chain bonds :
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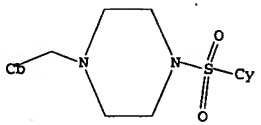
3-11 6-7 7-8 7-9 7-10 11-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 3-11 4-5 5-6 6-7 7-8 7-9 7-10
exact bonds :
11-12

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
11:CLASS 12:Atom
Generic attributes :
10:
Type of Ring System : Polycyclic

L1 STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> S L1
SAMPLE SEARCH INITIATED 14:46:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1950 TO ITERATE

51.3% PROCESSED 1000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 36352 TO 41648
PROJECTED ANSWERS: 1358 TO 2542

L2 50 SEA SSS SAM L1

=> FILE CAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.43 0.64

FILE 'CAPLUS' ENTERED AT 14:46:50 ON 24 MAR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907 - 24 Mar 2005 VOL 142 ISS 13
FILE LAST UPDATED: 23 Mar 2005 (20050323/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L2
L3 12 L2

=> D 1-12 IBIB ABS HITSTR

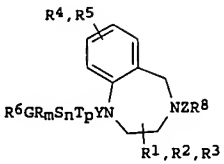
L3 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:748789 CAPLUS
DOCUMENT NUMBER: 137:263073
TITLE: Preparation of benzodiazepines as inhibitors of farnesyl protein transferase
INVENTOR(S): Ding, Charles Z.; Hunt, John T.; Leftheris, Katerina; Bhide, Rajeev S.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 25 pp., Cont.-in-part of U. S. Ser. No. 161,801, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6458783	B1	20021001	US 2000-556740	20000421
WO 2001081322	A1	20011101	WO 2001-US11209	20010406

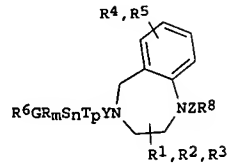
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:	US 1997-60823P	P	19970929
	US 1998-161801	B2	19980928
	US 2000-556740	A	20000421

OTHER SOURCE(S): MARPAT 137:263073
GI



I



II

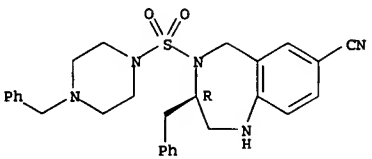
AB Title compds. [I, II; m, n, p = 0, 1; Z = null, CHR9, SO2, CO, CO2, O, NR10, SO2NR11, CONR12, C(:NCN), etc.; Y = null, CHR23, SO2, CO, NR24, SO2NR25, CONR26; R1, R2, R3 = H, alkoxycarbonyl, aralkyl, cycloalkyl, CN, carboxy, (un)substituted alkyl, alkenyl, alkynyl, aryl, heterocyclyl, carbamyl; any 2 of R1, R2, R3 = atoms to form a cycloalkyl ring; R4, R5 = H, halo, NO2, CN, amino, acyl, carbamoyl, sulfamoyl, etc.; R4R5 = atoms to form a ring; R6, R9, R10, R11, R12, R23, R24, R25, R26 = H, (un)substituted alkyl, aryl; R8 = H, aralkyl, cycloalkyl, (un)substituted alkyl, alkenyl, alkynyl, aryl, heterocyclyl; R, S, T = (un)substituted methylene, amino; G = S, SO2NH, NHSO2, N(OH)CO, CON(OH), hydroxyphenylene, mercaptophenylene, heterocycles other than imidazole, etc.], were prepared for inhibiting tumors and treating diseases associated with signal transduction pathways. Thus, cycloaddn. of isatoic anhydride and glycine Et ester.HCl gave 2,3,4,5-tetrahydro-1H-benzodiazepine-2,5-dione (40%), which was reduced with LiAlH4 (84%) and treated with 1-naphthoyl chloride to give the amide (89%). The resulting 2,3,4,5-tetrahydro-4-(1-naphthylcarbonyl)-1H-1,4-benzodiazepine was reductively alkylated with N-BOC-S-tritylcysteine aldehyde and NaBH(OAc)3 followed by deprotection with TFA and conversion to 1-(2-amino-3-mercaptopropyl)-2,3,4,5-tetrahydro-4-(naphthalenylcarbonyl)-1H-1,4-benzodiazepine hydrochloride. Title compds. inhibited farnesyl protein transferase with IC50 = 0.1 nM to 100 μM.

IT **371150-63-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzodiazepines as inhibitors of farnesyl protein transferase)

RN 371150-63-9 CAPLUS

CN 1H-1,4-Benzodiazepine-7-carbonitrile, 2,3,4,5-tetrahydro-3-(phenylmethyl)-4-[[4-(phenylmethyl)-1-piperazinyl]sulfonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:256255 CAPLUS
DOCUMENT NUMBER: 136:279479
TITLE: Preparation of piperazin-2-one amides as inhibitors of factor Xa

INVENTOR(S): Zhu, Bing-yan; Su, Ting; Li, Wenhao; Goldman, Erick A.; Zhang, Penglie; Jia, Zhaozhong Jon; Scarborough, Robert M.
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026734	A1	20020404	WO 2001-US30313	20011001
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422873	AA	20020404	CA 2001-2422873	20011001
AU 2002011280	A5	20020408	AU 2002-11280	20011001
EP 1322643	A1	20030702	EP 2001-979304	20011001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509958	T2	20040402	JP 2002-531118	20011001
BR 2001007282	A	20040706	BR 2001-7282	20011001
US 2004072860	A1	20040415	US 2003-381927	20030808
PRIORITY APPLN. INFO.:			US 2000-236393P P	20000929
			WO 2001-US30313 W	20011001

OTHER SOURCE(S): MARPAT 136:279479
GI

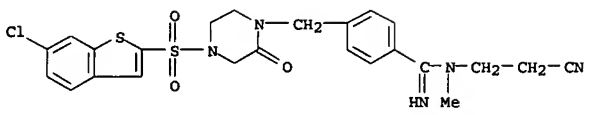
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I or II; A = MeNHC(:NH), 1-methylimidazol-2-yl; PrNMeC(:NH), etc. R = H, alkyl, cycloalkyl, etc.; Q = III-VII; R1 = H, halo, alkyl, etc.; J1 = (un)substituted Ph, pyridyl, pyrimidinyl, furyl, thienyl; J2 = (un)substituted 2-naphthyl, 2-benzothienyl, etc.; n = 0-2; m = 1-2; p = 0-1], having activity against mammalian factor Xa (no data given), and useful in vitro or in vivo for preventing or treating conditions in mammals characterized by undesired thrombosis, were prepared E.g., a multi-step synthesis of VIII was given.

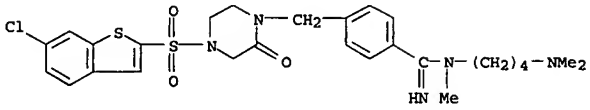
IT **406489-04-1P 406489-17-6P 406489-35-8P**
406489-59-6P 406489-75-6P 406489-95-0P
406490-34-4P 406491-00-7P 406491-39-2P
406491-63-2P 406491-90-5P 406493-54-7P
406493-87-6P 406494-10-8P 406495-04-3P
406495-32-7P 406495-88-3P 406496-11-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazin-2-one amides as inhibitors of factor Xa)

RN 406489-04-1 CAPLUS

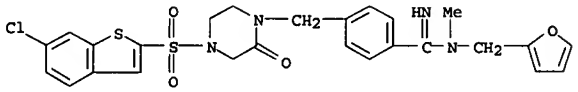
CN Benzenecarboximidamide, 4-[[4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-N-(2-cyanoethyl)-N-methyl- (9CI) (CA INDEX NAME)



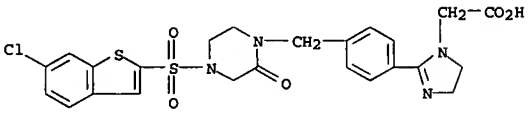
RN 406489-17-6 CAPLUS
CN Benzenecarboximidamide, 4-[[4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-N-[4-(dimethylamino)butyl]-N-methyl- (9CI) (CA INDEX NAME)



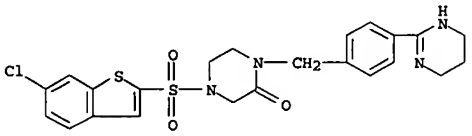
RN 406489-35-8 CAPLUS
CN Benzenecarboximidamide, 4-[[4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-N-(2-furanylmethyl)-N-methyl- (9CI) (CA INDEX NAME)



RN 406489-59-6 CAPLUS
CN 1H-Imidazole-1-acetic acid, 2-[4-[[4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]phenyl]-4,5-dihydro- (9CI) (CA INDEX NAME)

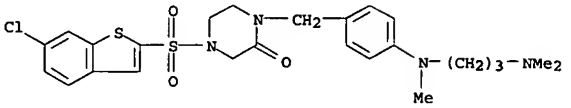


RN 406489-75-6 CAPLUS
CN Piperazinone, 4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-1-[[4-(1,4,5,6-tetrahydro-2-pyrimidinyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

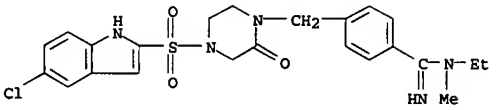


RN 406489-95-0 CAPLUS

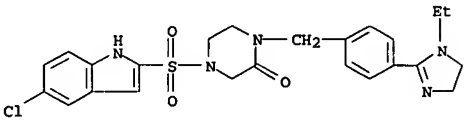
CN Piperazinone, 4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-1-[[4-[[3-(dimethylamino)propyl]methylamino]phenyl]methyl]- (9CI) (CA INDEX NAME)



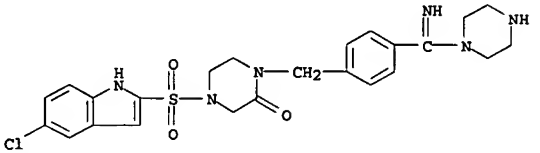
RN 406490-34-4 CAPLUS
CN Benzenecarboximidamide, 4-[[4-[(5-chloro-1H-indol-2-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-N-ethyl-N-methyl- (9CI) (CA INDEX NAME)



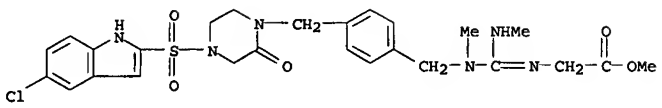
RN 406491-00-7 CAPLUS
CN Piperazinone, 4-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-[[4-(1-ethyl-4,5-dihydro-1H-imidazol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



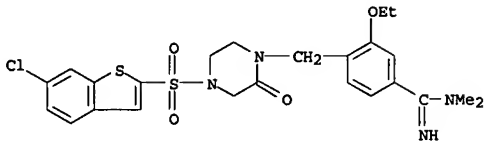
RN 406491-39-2 CAPLUS
CN Piperazine, 1-[[4-[[4-[(5-chloro-1H-indol-2-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]phenyl]iminomethyl]- (9CI) (CA INDEX NAME)



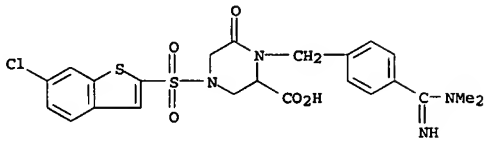
RN 406491-63-2 CAPLUS
CN Glycine, N-[[[[4-[[4-[(5-chloro-1H-indol-2-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]phenyl]methyl]methylamino](methylamino)methylene]-, methyl ester (9CI) (CA INDEX NAME)



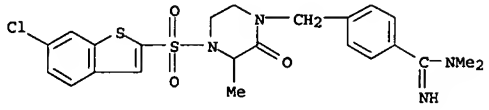
RN 406491-90-5 CAPLUS
CN Benzenecarboximidamide, 4-[[4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-3-ethoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)



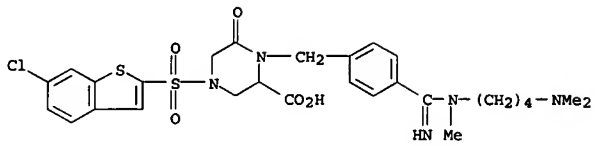
RN 406493-54-7 CAPLUS
CN 2-Piperazinecarboxylic acid, 4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-1-[[4-[(dimethylamino)iminomethyl]phenyl]methyl]-6-oxo- (9CI) (CA INDEX NAME)



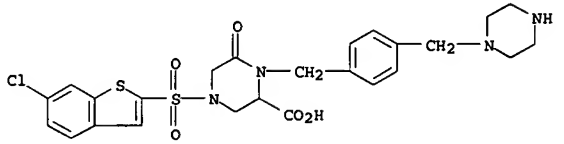
RN 406493-87-6 CAPLUS
CN Benzenecarboximidamide, 4-[[4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-3-methyl-2-oxo-1-piperazinyl]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



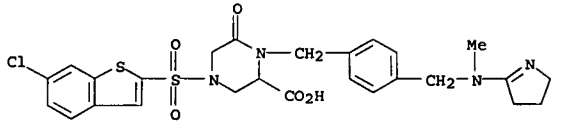
RN 406494-10-8 CAPLUS
CN 2-Piperazinecarboxylic acid, 4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-1-[[4-[[[4-(dimethylamino)butyl]methylamino]iminomethyl]phenyl]methyl]-6-oxo- (9CI) (CA INDEX NAME)



RN 406495-04-3 CAPLUS
CN 2-Piperazinecarboxylic acid, 4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-6-oxo-1-[[4-(1-piperazinylmethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

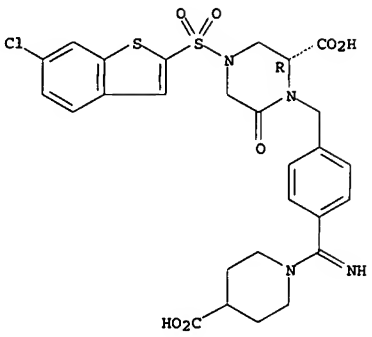


RN 406495-32-7 CAPLUS
CN 2-Piperazinecarboxylic acid, 4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-1-[[4-[[(3,4-dihydro-2H-pyrrol-5-yl)methylamino]methyl]phenyl]methyl]-6-oxo- (9CI) (CA INDEX NAME)



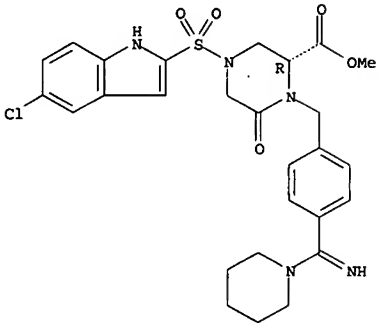
RN 406495-88-3 CAPLUS
CN 2-Piperazinecarboxylic acid, 1-[[4-[(4-carboxy-1-piperidinyl)iminomethyl]phenyl]methyl]-4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-6-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 406496-11-5 CAPLUS
CN 2-Piperazinecarboxylic acid, 4-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-[[4-(imino-1-piperidinylmethyl)phenyl]methyl]-6-oxo-, methyl ester, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

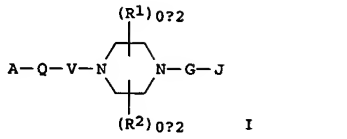
L3 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:256243 CAPLUS
DOCUMENT NUMBER: 136:294851
TITLE: Preparation of piperazine (hetero)aryl ketones and sulfones as factor Xa inhibitors for treatment of thrombosis or coagulation disorders
INVENTOR(S): Zhu, Bing-Yan; Jia, Zhaozhong Jon; Zhang, Penglie; Huang, Wenrong; Wu, Yanhong; Zuckett, Jingmei Fan; Goldman, Erik A.; Wang, Lingyan; Song, Yonghong; Scarborough, Robert M.
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 128 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

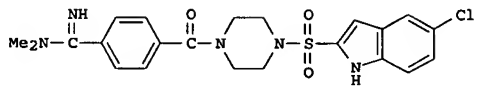
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026720	A2	20020404	WO 2001-US30315	20011001
WO 2002026720	A3	20021031		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1322610	A2	20030702	EP 2001-975505	20011001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004082786	A1	20040429	US 2003-381928	20031016

PRIORITY APPLN. INFO.:
US 2000-236161P P 20000929
WO 2001-US30315 W 20011001

OTHER SOURCE(S): MARPAT 136:294851
GI



I



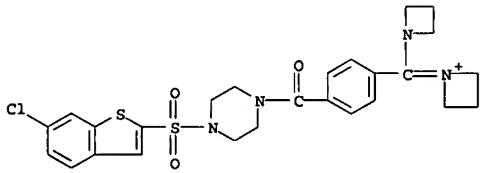
II

AB Title compds. I [wherein A = (un)substituted imidazolinyl, tetrahydropyrimidinyl, tetrahydro-1H-1,3-diazepinyl, imidamido(alkyl), guanidinyl, amino(alkyl), ammoniomethyl, Ph, pyridinyl, etc.; Q = (un)substituted phenylene, pyrimidinediyl, pyridinediyl, pyrazinediyl, pyrrolediyl, furandiyl, thiophenediyl, piperidinediyl, or pyrrolidinediyl; V = CH2 or CO; G = CO or SO2; J = (un)substituted naphthyl, (iso)quinolinyl, quinazolinyl, indolyl, benzothiophenyl, benzofuranyl, benzimidazolyl, benzothiazolyl, benzoxazolyl, etc.; R1 and R2 = independently H, alkyl, hydroxyalkyl, aminoalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, or carbamoylalkyl; and pharmaceutically acceptable isomers, salts, hydrates, solvates, and prodrugs thereof] were prepared For example, 1-Boc-5-chloro-2-indolylsulfonyl chloride was coupled with 1-Boc-piperazine in DCM in the presence of pyridine to give the sulfonamide (95%). Deprotection using HCl gas (99%), followed by acylation with 4-cyanobenzoyl chloride in pyridine in the presence of DMAP (73%) and treatment with HCl and dimethylamine, afforded II. I are highly selective inhibitors of factor Xa and are useful for the treatment of diseases characterized by undesired thrombosis or coagulation disorders

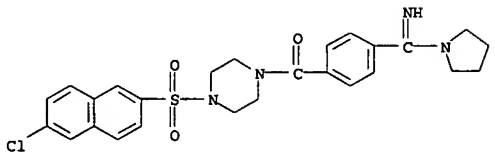
(no data).

IT **406714-73-6P 406714-94-1P 406715-09-1P**
406717-30-4P 406717-88-2P 406718-30-7P
406718-44-3P 406719-21-9P 406719-42-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(factor Xa inhibitor; preparation of piperazine (hetero)aryl ketones and sulfones as factor Xa inhibitors for treatment of thrombosis or coagulation disorders)

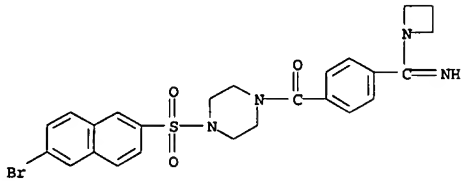
RN 406714-73-6 CAPLUS
CN Azetidinium, 1-[1-azetidinyl[4-[[4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-1-piperazinyl]carbonyl]phenyl]methylene]- (9CI) (CA INDEX NAME)



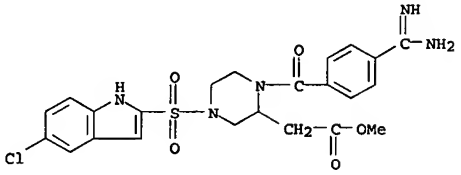
RN 406714-94-1 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(imino-1-pyrrolidinylmethyl)benzoyl]- (9CI) (CA INDEX NAME)



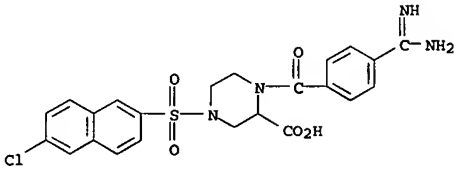
RN 406715-09-1 CAPLUS
CN Piperazine, 1-[4-(1-azetidinyliminomethyl)benzoyl]-4-[(6-bromo-2-naphthalenyl)sulfonyl]- (9CI) (CA INDEX NAME)



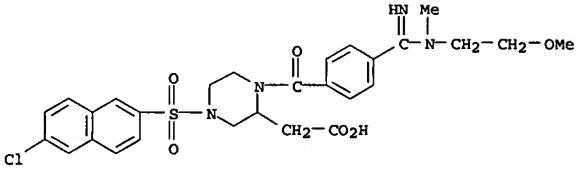
RN 406717-30-4 CAPLUS
CN 2-Piperazineacetic acid, 1-[4-(aminoiminomethyl)benzoyl]-4-[(5-chloro-1H-indol-2-yl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



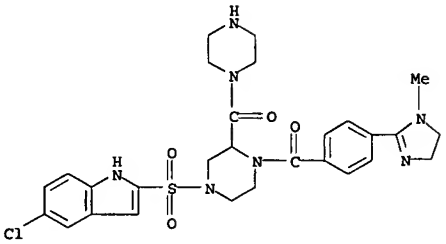
RN 406717-88-2 CAPLUS
CN 2-Piperazinecarboxylic acid, 1-[4-(aminoiminomethyl)benzoyl]-4-[(6-chloro-2-naphthalenyl)sulfonyl]- (9CI) (CA INDEX NAME)



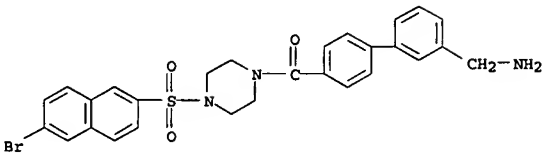
RN 406718-30-7 CAPLUS
CN 2-Piperazineacetic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[imino[(2-methoxyethyl)methylamino]methyl]benzoyl]- (9CI) (CA INDEX NAME)



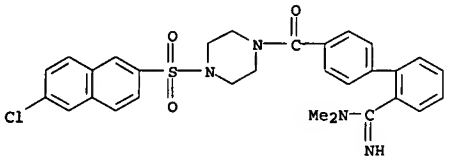
RN 406718-44-3 CAPLUS
CN Piperazine, 4-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)benzoyl]-2-(1-piperazinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 406719-21-9 CAPLUS
CN Piperazine, 1-[[3'-(aminomethyl)[1,1'-biphenyl]-4-yl]carbonyl]-4-[(6-bromo-2-naphthalenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 406719-42-4 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[[2'-[(dimethylamino)iminomethyl][1,1'-biphenyl]-4-yl]carbonyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:798204 CAPLUS
DOCUMENT NUMBER: 135:344509
TITLE: Preparation of non-imidazole benzodiazepine inhibitors of farnesyl protein transferase
INVENTOR(S): Ding, Charles Z.; Hunt, John T.; Leftheris, Katerina; Bhide, Rajeev S.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081322	A1	20011101	WO 2001-US11209	20010406
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6458783	B1	20021001	US 2000-556740	20000421
PRIORITY APPLN. INFO.:			US 2000-556740	A 20000421
			US 1997-60823P	P 19970929
			US 1998-161801	B2 19980928

OTHER SOURCE(S): MARPAT 135:344509
GI

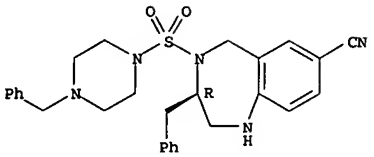
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I and II [wherein m, n, and p = independently 0 or 1; Z = null, CHR9, SO2, CO, CO2, O, NR10, SO2NR11, CONR12, C(:NCN), etc.; Y = null, CHR23, SO2, CO, NR24, SO2NR25, or CONR26; R1, R2, and R3 = independently H, alkoxycarbonyl, aralkyl, cycloalkyl, CN, carboxy, or (un)substituted alkyl, alkenyl, alkynyl, aryl, heterocyclo, or carbamyl; or any 2 of R1, R2, and R3 may join to form a cycloalkyl ring; R4 and R5 = independently H, halo, NO2, CN, amino, acyl, carbamoyl, sulfamoyl, etc.; or R4 and R5 may join together to form a ring; R6, R9, R10, R11, and R12, and R23, R24, R25, and R26 = independently H or (un)substituted alkyl or aryl; R8 = H, aralkyl, cycloalkyl, or (un)substituted alkyl, alkenyl, alkynyl, aryl, or heterocyclo; R, S, and T = independently (un)substituted methylene or amino; G = S, SO2NH, NHSO2, N(OH)CO, CON(OH), hydroxyphenylene, mercaptophenylene, heterocycles other than imidazole, etc.; and enantiomers, diastereomers, or pharmaceutically acceptable salts, prodrugs, or solvates thereof] were prepared as farnesyl transferase inhibitors. For example, cycloaddn. of isatoic anhydride and glycine Et ester•HCl gave 2,3,4,5-tetrahydro-1H-benzodiazepine-2,5-dione (40%), which was reduced with LAH (84%) and treated with 1-naphthoyl chloride to give the amide (89%). The resulting 2,3,4,5-tetrahydro-4-(naphthalenylcarbonyl)-1H-1,4-benzodiazepine was alkylated with N-BOC-S-tritylcysteine aldehyde and converted to the HCl salt of III (62%). I and II affect ras oncogene expression and, therefore, are useful for inhibiting tumors and treating diseases associated with signal transduction pathways (no data).

IT 371150-63-9, (3R)-2,3,4,5-Tetrahydro-3-(phenylmethyl)-4-[[4-(phenylmethyl)-1-piperazinyl]sulfonyl]-1H-1,4-benzodiazepine-7-carbonitrile
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of non-imidazole benzodiazepine inhibitors of farnesyl protein transferase for treatment of cancer and other diseases associated with signal transduction pathways)

RN 371150-63-9 CAPLUS
CN 1H-1,4-Benzodiazepine-7-carbonitrile, 2,3,4,5-tetrahydro-3-(phenylmethyl)-4-[[4-(phenylmethyl)-1-piperazinyl]sulfonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

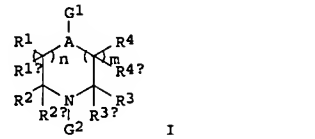


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

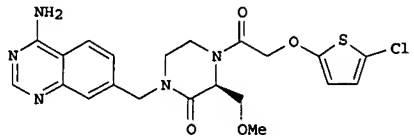
L3 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:78383 CAPLUS
DOCUMENT NUMBER: 134:163059
TITLE: Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa/IIa inhibitors
INVENTOR(S): Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: PCT Int. Appl., 460 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007436	A2	20010201	WO 2000-IB1156	20000726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2382755	AA	20010201	CA 2000-2382755	20000726
BR 2000013179	A	20020402	BR 2000-13179	20000726
EP 1208097	A2	20020529	EP 2000-951781	20000726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TR 200200225	T2	20020621	TR 2002-200200225	20000726
JP 2003508353	T2	20030304	JP 2001-512520	20000726
EE 200200045	A	20030616	EE 2002-45	20000726
AU 773227	B2	20040520	AU 2000-64628	20000726
NO 2002000214	A	20020402	NO 2002-214	20020115
BG 106340	A	20021031	BG 2002-106340	20020122
ZA 2002000543	A	20030623	ZA 2002-543	20020122
PRIORITY APPLN. INFO.:			US 1999-363196 A	19990728
			WO 2000-IB1156 W	20000726

OTHER SOURCE(S): MARPAT 134:163059
GI



I



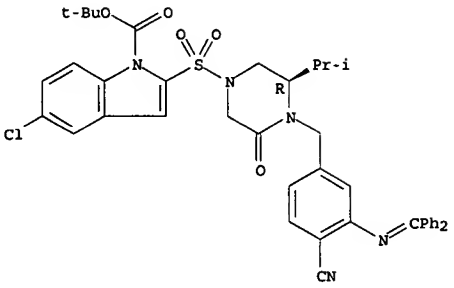
II

AB The invention is directed to piperazinones I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH or N; G1 and G2 = L1Cy1 or L2Cy2; Cy1 and Cy2 = (un)substituted aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, etc.; L1 = null, O, S, SO, SO2, or (un)substituted sulfamoyl, methylene, (alkyl)keto(alkyl), carbamoyl, etc.; L2 = null or linking group; R1, R1a, R2, R2a, R3, R3a, R4, R4a = independently H, carboxy, alkoxycarbonyl, alkyl, (hetero)aryl, aralkyl, heteroarylalkyl, etc.; m and n = independently 0-2]. The compds. inhibit factor Xa (no data) and factor IIa, and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 1600 invention compds. and several hundred intermediates. For instance, condensation of 5-chloro-2-thienyloxyacetic acid with the corresponding N-benzyloxycarbonyl-protected piperazinone derivative (prepns. given), using DIPEA and TBTU in DMF, gave II.

IT 323593-02-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa/IIa inhibitors)

RN 323593-02-8 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-chloro-2-[[(3R)-4-[[4-cyano-3-[(diphenylmethylene)amino]phenyl]methyl]-3-(1-methylethyl)-5-oxo-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

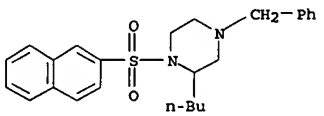
Absolute stereochemistry.



L3 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:141494 CAPLUS
DOCUMENT NUMBER: 132:194658
TITLE: Preparation of ethylenediamine-derived pseudopeptides as reversible cysteine protease inhibitors
INVENTOR(S): Klaus, Jeffrey L.; Rasnick, David; Palmer, James T.; Kuo, Elaine Yee-Lin
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: U.S., 36 pp., Cont.-in-part of U.S. Ser. No. 474,993, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6030946	A	20000229	US 1996-657103	19960603
TW 438591	B	20010607	TW 1996-85106569	19960601
CA 2222972	AA	19961219	CA 1996-2222972	19960603
CN 1192219	A	19980902	CN 1996-195858	19960603
ZA 9604751	A	19970108	ZA 1996-4751	19960606
PRIORITY APPLN. INFO.:			US 1995-474993 B2	19950607

OTHER SOURCE(S): MARPAT 132:194658
AB N-substituted ethylenediamines, e.g., A-NR3CHR1CHR2NR4-X [A,X = acyl, acyl peptidyl, alkyloxycarbonyl, alkyloxycarbonyl peptidyl, sulfonyl, peptidyl, sulfamoyl, sulfamoyl peptidyl, sulfinyl, sulfinyl peptidyl, carbamoyl, and carbamoyl peptidyl; R1 = R2 = H or one of R1 and R2 is an amino acid side chain and the other is hydrogen; R3 and R4 are hydrogen or are bonded together to form (un)substituted ethylene], were prepared as reversible cysteine protease inhibitors (KI .ltorsim. 100 μM). Thus, cysteine protease inhibitor N1-(4-morpholinecarbonylphenylalanyl)-2-phenethyl-N2-(phenylsulfonyl)ethylenediamine (Mu-Phe-retro-(D,L)-Hph-SO2Ph) was prepared by coupling 4-morpholinecarbonylphenylalanine with H2NCH2CH(CH2CH2Ph)NHSO2Ph HCL salt, which was obtained from N-(phenylsulfonyl)homophenylalanine by amidation and carbonyl group reduction Mu-Phe-retro-(D,L)-Hph-SO2Ph showed KI = 60, .052, 0.25, and .09 M against cathepsin B, cathepsin L, cathepsin S, and cruzain, resp.
IT **186412-47-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ethylenediamine-derived pseudopeptides as reversible cysteine protease inhibitors)
RN 186412-47-5 CAPLUS
CN Piperazine, 2-butyl-1-(2-naphthalenylsulfonyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

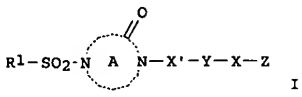


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:511143 CAPLUS
DOCUMENT NUMBER: 131:170361
TITLE: Preparation of sulfonamides as inhibitors of activated blood coagulation factor X
INVENTOR(S): Tawada, Hiroyuki; Itoh, Fumio; Banno, Hiroshi; Terashita, Zenichi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940075	A1	19990812	WO 1999-JP470	19990204
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2317017	AA	19990812	CA 1999-2317017	19990204
AU 9922988	A1	19990823	AU 1999-22988	19990204
JP 2000204081	A2	20000725	JP 1999-27053	19990204
EP 1054005	A1	20001122	EP 1999-902829	19990204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6403595	B1	20020611	US 2000-601660	20000803
US 2002193382	A1	20021219	US 2002-128809	20020424
US 6680312	B2	20040120		
PRIORITY APPLN. INFO.:			JP 1998-24833 A	19980205
			JP 1998-317205 A	19981109
			WO 1999-JP470 W	19990204
			US 2000-601660 A3	20000803

OTHER SOURCE(S): MARPAT 131:170361
GI



I

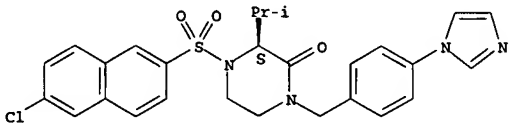
AB The title compds. I [R1 represents a hydrocarbyl or heterocyclic group each optionally substituted; the ring A represents a divalent nitrogen-containing heterocycle group optionally further substituted; X' represents optionally substituted alkylene; Y represents an optionally substituted divalent cyclic group; X represents a bond or optionally substituted alkylene; and Z represents optionally substituted amino, optionally substituted imidoyl, or an optionally substituted nitrogen-containing heterocyclic group] are prepared Formulations containing a compound of this invention are given. In a test for inhibiting activity of title compds. against activated blood coagulation factor X, 1-(4-amidinobenzyl)-4-(6-chloronaphthalene-2-sulfonyl)-2-piperazinone hydrochloride showed IC50 of 0.05 μM.

IT **239072-09-4P 239074-60-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamides as inhibitors of activated blood coagulation factor X)

RN 239072-09-4 CAPLUS

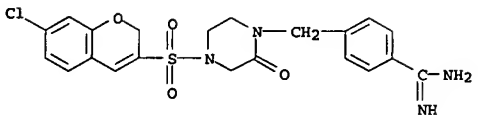
CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[4-(1H-imidazol-1-yl)phenyl]methyl]-3-(1-methylethyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 239074-60-3 CAPLUS

CN Benzenecarboximidamide, 4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:233904 CAPLUS

DOCUMENT NUMBER: 130:282084

TITLE: Benzamidine derivatives as factor Xa inhibitors

INVENTOR(S): Dorsch, Dieter; Juraszyk, Horst; Wurziger, Hanns; Bernotat-Danielowski, Sabine; Melzer, Guido

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

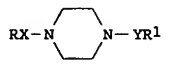
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916751	A1	19990408	WO 1998-EP5898	19980916
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19743435	A1	19990408	DE 1997-19743435	19971001
CA 2305568	AA	19990408	CA 1998-2305568	19980916
AU 9895407	A1	19990423	AU 1998-95407	19980916
AU 736080	B2	20010726		
EP 1025086	A1	20000809	EP 1998-948982	19980916
EP 1025086	B1	20030625		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9812699	A	20000822	BR 1998-12699	19980916
JP 2001518467	T2	20011016	JP 2000-513837	19980916
SK 282799	B6	20021203	SK 2000-447	19980916
RU 2194044	C2	20021210	RU 2000-110737	19980916
AT 243681	E	20030715	AT 1998-948982	19980916
ZA 9808937	A	19990331	ZA 1998-8937	19980930
NO 2000001687	A	20000331	NO 2000-1687	20000331
US 6492368	B1	20021210	US 2000-509729	20000331

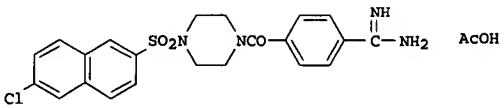
PRIORITY APPLN. INFO.: DE 1997-19743435 A 19971001
WO 1998-EP5898 W 19980916

OTHER SOURCE(S): MARPAT 130:282084

GI



I



II

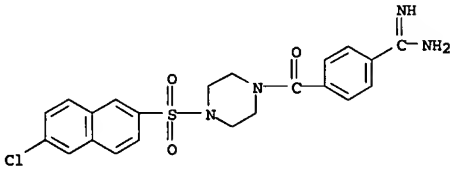
AB Title compds. I [X = bond, CO, (un)substituted CH2, CH2CH2, CH2CO, CH2CH2CO, CH:CHCO, NHCO; Y = (un)substituted CH2, SO2, CO, CO2, CONH; R = (un)substituted Ph; R1 = H, (un)substituted alkyl, oxaalkyl, thiaalkyl, alkenyl, cycloalkyl, aryl, aryloxy, heterocyclic, aralkenyl] are inhibitors of coagulation factor Xa and can be used for preventing or treating thromboembolic disorders (no data). Thus, 4-(5-methyl-1,2,4-oxadiazol-3-yl)benzoic acid was converted to the acid chloride, treated with N-tert.-butoxycarbonylpiperazine, and deblocked to give [4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]piperazin-1-ylmethanone which was treated with 6-chloro-2-naphthalenesulfonyl chloride and reduced to give the benzamidine II.

IT **222541-81-3P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylbenzamidine derivs. as factor Xa inhibitors)

RN 222541-81-3 CAPLUS
CN Piperazine, 1-[4-(aminoiminomethyl)benzoyl]-4-[(6-chloro-2-naphthalenyl)sulfonyl]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 222541-80-2
CMF C22 H21 Cl N4 O3 S



CM 2

CRN 64-19-7
CMF C2 H4 O2

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:794998 CAPLUS
DOCUMENT NUMBER: 130:38404
TITLE: Preparation of 1-benzoyl-4-naphthalenesulfonylpiperazines and related compounds as inhibitors of activated coagulation factor X.
INVENTOR(S): Tawada, Hiroyuki; Ito, Fumio; Moriya, Norihiko; Terashita, Zenichi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 313 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9854164	A1	19981203	WO 1998-JP2346	19980528
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2287292	AA	19981203	CA 1998-2287292	19980528
AU 9874534	A1	19981230	AU 1998-74534	19980528
EP 986551	A1	20000322	EP 1998-921852	19980528
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11236372	A2	19990831	JP 1998-148677	19980529
US 6359134	B1	20020319	US 1999-424892	19991130

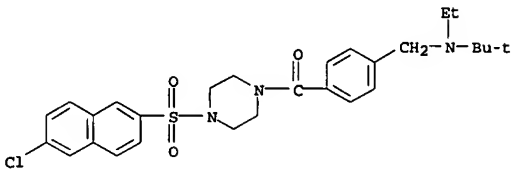
PRIORITY APPLN. INFO.:
JP 1997-142250 A 19970530
JP 1997-351806 A 19971219
WO 1998-JP2346 W 19980528

OTHER SOURCE(S): MARPAT 130:38404

AB R1SO2ACOYXZ [R1 = (substituted) hydrocarbyl, heterocyclyl; A = (substituted) divalent N-heterocyclyl; Y = (substituted) hydrocarbylene, heterocyclylene; X = bond, (substituted) alkylene; Z = substituted amino, imidoyl, N-heterocyclyl; provided that when X = bond and Z = (substituted) 6-membered N-heterocyclyl, then Y = (substituted) hydrocarbylene, unsatd. heterocyclylene], were prepared Thus, reaction of 1-(6-chloronaphthalene-2-sulfonyl)piperazine hydrochloride with 2-(4-pyridyl)-4-methyl-5-thiazolecarboxylic acid in the presence of Et3N and WSC hydrochloride in DMF gave 1-(6-chloronaphthalene-2-sulfonyl)-4-[2-(4-pyridyl)-4-methyl-5-thiazolecarbonyl]piperazine. The latter inhibited human activated coagulation factor X with IC50 = 0.019 μM.

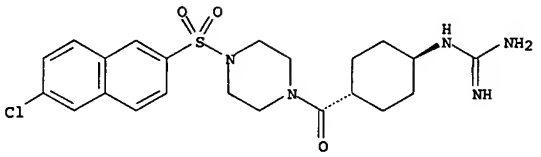
IT **216956-65-9P 216957-30-1P 216958-30-4P**
216959-21-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-benzoyl-4-naphthalenesulfonylpiperazines and related compds. as inhibitors of activated coagulation factor X)

RN 216956-65-9 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-[[(1,1-dimethylethyl)ethylamino]methyl]benzoyl]- (9CI) (CA INDEX NAME)



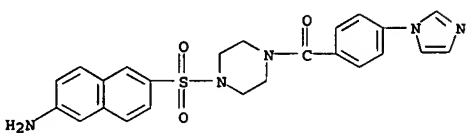
RN 216957-30-1 CAPLUS
CN Piperazine, 1-[[trans-4-[(aminoiminomethyl)amino]cyclohexyl]carbonyl]-4-[(6-chloro-2-naphthalenyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.



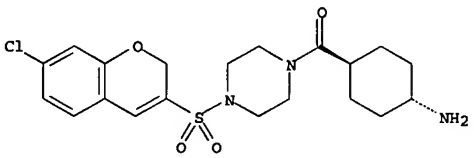
● HCl

RN 216958-30-4 CAPLUS
CN Piperazine, 1-[(6-amino-2-naphthalenyl)sulfonyl]-4-[4-(1H-imidazol-1-yl)benzoyl]- (9CI) (CA INDEX NAME)



RN 216959-21-6 CAPLUS
CN Piperazine, 1-[(trans-4-aminocyclohexyl)carbonyl]-4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:341547 CAPLUS
DOCUMENT NUMBER: 129:16141
TITLE: Preparation of 1-(naphthylsulfonyl)-4-benzoylpiperazines and related compounds as inhibitors of Factor Xa.
INVENTOR(S): Preston, John; Stocker, Andrew; Turner, Paul; Smithers, Michael James; Rayner, John Wall
PATENT ASSIGNEE(S): Zeneca Ltd., UK; Preston, John; Stocker, Andrew; Turner, Paul; Smithers, Michael James; Rayner, John Wall
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9821188	A1	19980522	WO 1997-GB3033	19971104
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2266890	AA	19980522	CA 1997-2266890	19971104
AU 9748748	A1	19980603	AU 1997-48748	19971104
AU 731929	B2	20010405		
EP 937048	A1	19990825	EP 1997-911333	19971104
EP 937048	B1	20040121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9712672	A	19991026	BR 1997-12672	19971104
CN 1235597	A	19991117	CN 1997-199426	19971104
NZ 334710	A	20001124	NZ 1997-334710	19971104
JP 2001504113	T2	20010327	JP 1998-522274	19971104
RU 2213732	C2	20031010	RU 1999-112135	19971104
EP 1358909	A1	20031105	EP 2003-11815	19971104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 258167	E	20040215	AT 1997-911333	19971104
PT 937048	T	20040531	PT 1997-911333	19971104
ES 2213208	T3	20040816	ES 1997-911333	19971104
TW 458968	B	20011011	TW 1997-86116467	19971105
ZA 9710062	A	19980508	ZA 1997-10062	19971107
NO 9902230	A	19990507	NO 1999-2230	19990507
KR 2000053128	A	20000825	KR 1999-704055	19990507
US 6300330	B1	20011009	US 1999-297768	19990507
US 2003195203	A1	20031016	US 2001-963686	20010927

PRIORITY APPLN. INFO.:

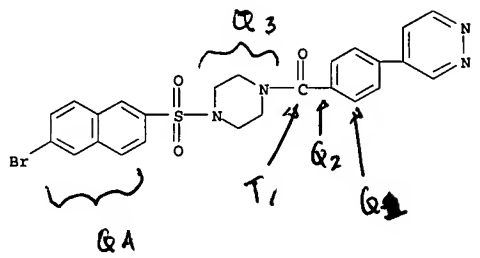
GB 1996-23283	A	19961108
GB 1997-15893	A	19970729
EP 1997-911333	A3	19971104
WO 1997-GB3033	W	19971104
US 1999-297768	A1	19990507

OTHER SOURCE(S): MARPAT 129:16141

AB ABX1T1(R2)L1T2(R3)X2Q [I; A = (substituted) 5-6 membered heteroaryl; B = (substituted) phenylene; T1, T2 = CH, N; ≥1 of T1, R2 = N; X1 = SO, SO2, CO, C(R4)2, O, S; R4 = H, alkyl; L1 = alkylene, alkylenecarbonyl; R2, R3 = H, alkyl; R2R3 = alkylene, CH2CO; Q = (substituted) Ph, naphthyl, phenylalkyl, phenylalkenyl, phenylalkynyl, heterocyclyl; with provisos], were prepared Thus, Me 4-(4-pyrimidinyl)benzoate (preparation given) was converted to the acid chloride which was stirred with 1-(6-bromonaphth-2-ylsulfonyl)piperazine hydrochloride and Et3N in CH2Cl2 to give 1-(6-bromonaphth-2-ylsulfonyl)-4-[4-(4-pyrimidinyl)benzoyl]piperazine. I inhibited Factor Xa with IC50 = 0.001-25 μM.

IT 207798-73-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-(naphthylsulfonyl)-4-benzoylpiperazines and related compds. as inhibitors of factor Xa)

RN 207798-73-0 CAPLUS
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(4-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



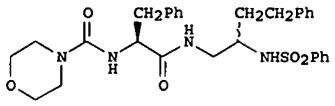
✓ 102(b)
* IN IDS
PAGES 39 - 42
COMPD'S 1-12

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:124458 CAPLUS
DOCUMENT NUMBER: 126:131786
TITLE: Preparation of ethylenediamine-derived reversible cysteine protease inhibitors
INVENTOR(S): Klaus, Jeffrey Lee; Rasnick, David; Palmer, James T.; Kuo, Elaine Yee-Lin
PATENT ASSIGNEE(S): Arris Pharmaceutical Corporation, USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640737	A1	19961219	WO 1996-US8559	19960603
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
TW 438591	B	20010607	TW 1996-85106569	19960601
CA 2222972	AA	19961219	CA 1996-2222972	19960603
AU 9659755	A1	19961230	AU 1996-59755	19960603
AU 723658	B2	20000831		
EP 832099	A1	19980401	EP 1996-917069	19960603
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1192219	A	19980902	CN 1996-195858	19960603
JP 11507045	T2	19990622	JP 1996-501116	19960603
ZA 9604751	A	19970108	ZA 1996-4751	19960606
NO 9705742	A	19980205	NO 1997-5742	19971205
PRIORITY APPLN. INFO.:			US 1995-474993 A	19950607
			WO 1996-US8559 W	19960603

OTHER SOURCE(S): MARPAT 126:131786
GI

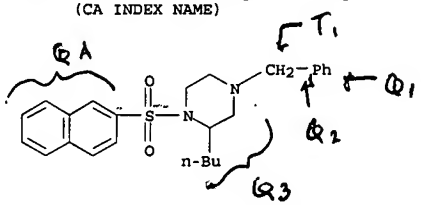


I

AB A reversible cysteine protease inhibitor comprising two N-substituents linked via an ethylenediamine or a substituted ethylenediamine, wherein the dissociation constant for inhibition, KI, of a protease with the inhibitor, is no greater than about 100 μM, and wherein said N-substituents are selected from the group consisting of acyl, acylpeptidyl, alkyloxycarbonyl, alkyloxycarbonylpeptidyl, sulfonyl, sulfonylpeptidyl, peptidyl, sulfamoyl, sulfamoylpeptidyl, sulfinyl, sulfinylpeptidyl, carbamoyl, and carbamoylpeptidyl. Thus, mixed anhydride formation of N-(4-morpholinecarbonyl)phenylalanine with iso-Bu chloroformate and coupling with NHCH(CH2CH2Ph)CH2NHSO2Ph (prepared in 3 steps from homophenylalanine and PhSO2Cl) gave 89% ethylenediamine inhibitor I. Prepared compds., including I, were tested for inhibitory activity against cathepsin B, cathepsin L, cathepsin S, and cruzain.

IT 186412-47-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ethylenediamine-derived reversible cysteine protease inhibitors)

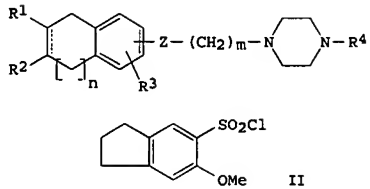
RN 186412-47-5 CAPLUS
CN Piperazine, 2-butyl-1-(2-naphthalenylsulfonyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:139052 CAPLUS
DOCUMENT NUMBER: 112:139052
TITLE: Preparation of arylsulfonylpiperazines as antiinflammatories
INVENTOR(S): Abou-Gharbia, Magid A.
PATENT ASSIGNEE(S): American Home Products Corp., Japan
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4857644	A	19890815	US 1988-204459	19880609
PRIORITY APPLN. INFO.:			US 1988-204459	19880609

OTHER SOURCE(S): CASREACT 112:139052; MARPAT 112:139052
GI



I

II

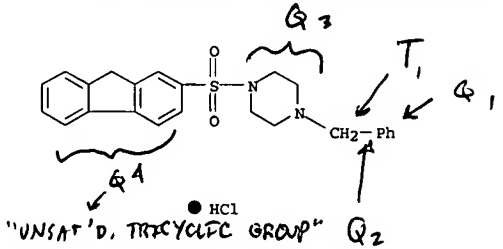
AB The title compds. [I; R1, R2 = H, C1-6 alkyl, Ph; R1R2 = (CH2)4, CH2CH:CHCH:CHCH2, bond; R3 = H, halo, C1-6 alkyl, alkoxy; R4 = PhCH2, (un)substituted Ph, pyridinyl, pyrimidinyl, pyrazinyl; Z = SO2, SO2NR5; R5 = H, C1-6 alkyl; m = 0-4; n = 0-2] and their pharmaceutically acceptable salts were prepared as antiinflammatories, e.g., by acylation of piperazines with arylsulfonyl chlorides. Thus, a solution of 5-methoxyindan in MeCN was added dropwise over 0.5 h to a cooled and stirred solution of ClSO3H,

followed by heating 3 h at 50-60°. The intermediate chlorosulfonated indan (II) in CH2Cl2 was treated with 1-(2-pyrimidinyl)piperazine dihydrochloride and Et3N, and stirred overnight to give I (R1, R2 = H, R3 = 6-MeO; Z = SO2; R4 = 2-pyrimidinyl, m, n = 0) which was converted to its hydrochloride. The latter at 50 mg/kg p.o. gave 55% inhibition of the acute inflammatory response in the rat carrageenan paw edema assay.

IT **125295-88-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiinflammatory)

RN 125295-88-7 CAPLUS

CN Piperazine, 1-(9H-fluoren-2-ylsulfonyl)-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



=> LOG HOLD

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	61.08	61.72

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-8.76	-8.76

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 14:49:28 ON 24 MAR 2005